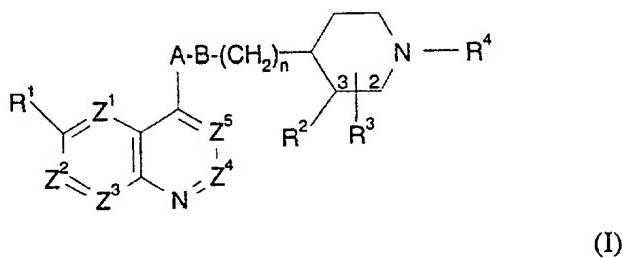


Claims

1. A compound of formula (I) or a pharmaceutically acceptable derivative thereof:



5

(I)

wherein:

one of Z^1 , Z^2 , Z^3 , Z^4 and Z^5 is N and the remainder are CH;

- 10 R^1 is hydrogen, hydroxy; (C_{1-6})alkoxy optionally substituted by (C_{1-6})alkoxy, amino, piperidyl, guanidino or amidino optionally N-substituted by one or two (C_{1-6})alkyl, acyl or (C_{1-6})alkylsulphonyl groups, NH_2CO , hydroxy, thiol, (C_{1-6})alkylthio, heterocyclithio, heterocycloloxy, arylthio, aryloxy, acylthio, acyloxy or (C_{1-6})alkylsulphonyloxy; (C_{1-6})alkoxy-substituted (C_{1-6})alkyl; halogen; (C_{1-6})alkyl; (C_{1-6})alkylthio; nitro; trifluoromethyl; azido; acyl; acyloxy; acylthio; (C_{1-6})alkylsulphonyl; (C_{1-6})alkylsulphoxide; arylsulphonyl; arylsulphoxide or an amino, piperidyl, guanidino or amidino group optionally N-substituted by one or two (C_{1-6})alkyl, acyl or (C_{1-6})alkylsulphonyl groups;
- 15 R^2 either R^2 is hydrogen; and
 R^3 is in the 2- or 3-position and is hydrogen or (C_{1-6})alkyl or (C_{2-6})alkenyl optionally substituted with 1 to 3 groups selected from:
thiol; halogen; (C_{1-6})alkylthio; trifluoromethyl; azido; (C_{1-6})alkoxycarbonyl; (C_{1-6})alkylcarbonyl; (C_{2-6})alkenyloxycarbonyl; (C_{2-6})alkenylcarbonyl; hydroxy
- 20 R^3 optionally substituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkoxycarbonyl, (C_{1-6})alkylcarbonyl, (C_{2-6})alkenyloxycarbonyl, (C_{2-6})alkenylcarbonyl or aminocarbonyl wherein the amino group is optionally substituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkylcarbonyl or (C_{2-6})alkenylcarbonyl; amino optionally mono- or disubstituted by (C_{1-6})alkoxycarbonyl, (C_{1-6})alkylcarbonyl, (C_{2-6})alkenyloxycarbonyl, (C_{2-6})alkenylcarbonyl, (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkylsulphonyl, (C_{2-6})alkenylsulphonyl or aminocarbonyl wherein the amino group is optionally substituted by (C_{1-6})alkyl or (C_{2-6})alkenyl; aminocarbonyl wherein the amino group is optionally mono- or disubstituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkoxycarbonyl,
- 25 R^3 optionally substituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkoxycarbonyl, (C_{1-6})alkylcarbonyl, (C_{2-6})alkenyloxycarbonyl, (C_{2-6})alkenylcarbonyl or aminocarbonyl wherein the amino group is optionally substituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkylcarbonyl or (C_{2-6})alkenylcarbonyl; amino optionally mono- or disubstituted by (C_{1-6})alkoxycarbonyl, (C_{1-6})alkylcarbonyl, (C_{2-6})alkenyloxycarbonyl, (C_{2-6})alkenylcarbonyl, (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkylsulphonyl, (C_{2-6})alkenylsulphonyl or aminocarbonyl wherein the amino group is optionally substituted by (C_{1-6})alkyl or (C_{2-6})alkenyl; aminocarbonyl wherein the amino group is optionally mono- or disubstituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkoxycarbonyl,
- 30 R^3 optionally substituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkylsulphonyl, (C_{2-6})alkenylsulphonyl or aminocarbonyl wherein the amino group is optionally substituted by (C_{1-6})alkyl or (C_{2-6})alkenyl; aminocarbonyl wherein the amino group is optionally mono- or disubstituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkoxycarbonyl,

(C₁₋₆)alkylcarbonyl, (C₂₋₆)alkenyloxycarbonyl or (C₂₋₆)alkenylcarbonyl]; oxo; (C₁₋₆)alkylsulphonyl; (C₂₋₆)alkenylsulphonyl; or (C₁₋₆)aminosulphonyl wherein the amino group is optionally substituted by (C₁₋₆)alkyl or (C₂₋₆)alkenyl; or

- 5 R³ is in the 3-position and R² and R³ together are a divalent residue =CR^{5'}R^{6'} where R^{5'} and R^{6'} are independently selected from H, (C₁₋₆)alkyl, (C₂₋₆)alkenyl, aryl(C₁₋₆)alkyl and aryl(C₂₋₆)alkenyl, any alkyl or alkenyl moiety being optionally substituted by 1 to 3 groups selected from those listed above for substituents on R³;
- 10 R⁴ is a group -CH₂-R⁵ in which R⁵ is selected from:
 (C₃₋₁₂)alkyl; hydroxy(C₃₋₁₂)alkyl; (C₁₋₁₂)alkoxy(C₃₋₁₂)alkyl; (C₁₋₁₂)alkanoyloxy(C₃₋₁₂)alkyl; (C₃₋₆)cycloalkyl(C₃₋₁₂)alkyl; hydroxy-, (C₁₋₁₂)alkoxy- or (C₁₋₁₂)alkanoyloxy-(C₃₋₆)cycloalkyl(C₃₋₁₂)alkyl; cyano(C₃₋₁₂)alkyl; (C₂₋₁₂)alkenyl; (C₂₋₁₂)alkynyl; tetrahydrofuryl; mono- or di-(C₁₋₁₂)alkylamino(C₃₋₁₂)alkyl;
- 15 acylamino(C₃₋₁₂)alkyl; (C₁₋₁₂)alkyl- or acyl-aminocarbonyl(C₃₋₁₂)alkyl; mono- or di-(C₁₋₁₂)alkylamino(hydroxy) (C₃₋₁₂)alkyl; optionally substituted phenyl(C₁₋₂)alkyl, phenoxy(C₁₋₂)alkyl or phenyl(hydroxy)(C₁₋₂)alkyl; optionally substituted diphenyl(C₁₋₂)alkyl; optionally substituted phenyl(C₂₋₃)alkenyl; optionally substituted benzoyl or benzoylmethyl; optionally substituted heteroaryl(C₁₋₂)alkyl; and optionally substituted heteroaroyl or heteroaroylmethyl;
- 20 n is 0, 1 or 2;

- A is NR¹¹, O, S(O)_x or CR⁶R⁷ and B is NR¹¹, O, S(O)_x or CR⁸R⁹ where x is 0, 1 or 2 and wherein:
 each of R⁶ and R⁷ R⁸ and R⁹ is independently selected from: H; thiol; (C₁₋₆)alkylthio; halo; trifluoromethyl; azido; (C₁₋₆)alkyl; (C₂₋₆)alkenyl; (C₁₋₆)alkoxycarbonyl; (C₁₋₆)alkylcarbonyl; (C₂₋₆)alkenyloxycarbonyl; (C₂₋₆)alkenylcarbonyl; hydroxy, amino or aminocarbonyl optionally substituted as for corresponding substituents in R³; (C₁₋₆)alkylsulphonyl; (C₂₋₆)alkenylsulphonyl; or (C₁₋₆)aminosulphonyl wherein the amino group is optionally substituted by (C₁₋₆)alkyl or (C₁₋₆)alkenyl;
 or R⁶ and R⁸ together represent a bond and R⁷ and R⁹ are as above defined;
 or R⁶ and R⁸ together represent -O- and R⁷ and R⁹ are both hydrogen;
 or R⁶ and R⁷ or R⁸ and R⁹ together represent oxo;
 35 and each R¹¹ is independently H, trifluoromethyl, (C₁₋₆)alkyl, (C₁₋₆)alkenyl, (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, aminocarbonyl wherein the amino group is

optionally mono- or di-substituted by (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₁₋₆)alkenyoxy carbonyl, (C₂₋₆)alkenylcarbonyl, (C₁₋₆)alkyl or (C₁₋₆)alkenyl;

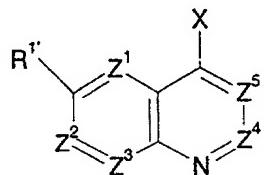
provided that A and B cannot both be selected from NR¹¹, O and S(O)_X and when one of
5 A and B is CO the other is not CO, O or S(O)_X.

2. A compound according to claim 1 wherein Z¹ is N and Z^{2-Z⁵} are each CH or Z⁵ is N and Z^{1-Z⁴} are each CH.
- 10 3. A compound according to claim 1 or 2 wherein R¹ is methoxy, amino(C₃₋₅)alkyloxy, guanidino(C₃₋₅)alkyloxy or fluoro, most preferably methoxy.
- 15 4. A compound according to any preceding claim wherein R³ is in the 3-position and is aminocarbonyl(C₁₋₆)alkyl, hydroxy(C₁₋₆)alkyl or 1,2-dihydroxy(C₂₋₆)alkyl optionally substituted on the hydroxy group(s).
5. A compound according to any preceding claim wherein AB is NHCO, NHCOCH₂ or CH₂CH(OH)CH₂.
- 20 6. A compound according to any preceding claim wherein R⁴ is (C₅₋₁₀)alkyl, unsubstituted phenyl(C₂₋₃)alkyl or unsubstituted phenyl(C₃₋₄)alkenyl.
- 25 7. A compound according to claim 1 selected from:
[3R, 4S]-1-Heptyl-4-[N-methyl-N-(6-methoxy-quinazolin-4-yl)-2-aminoethyl]-3-ethenylpiperidine;
[3R, 4S]-1-Heptyl-4-[2-(6-methoxyquinazolin-4-oxy)ethyl]-3-ethenylpiperidine;
1-Heptyl-4-(6-methoxy-1,5-naphthyridin-4-yl)aminocarbonyl piperidine;
[3R, 4S]-1-Heptyl-3-ethenyl-4-N-(6-methoxy-1,5-naphthyridin-4-yl)-piperidineacetamide;
- 30 [3R,4S]-1-Heptyl-3-ethenyl-4-[2-(R,S)-hydroxy-3-(6-methoxy-1,5-naphthyridin-4-yl)propyl]piperidine;
[3R,4S]-1-Heptyl-4-N-(6-methoxy-1,5-naphthyridin-4-yl)-3,4-piperidinediacetamide;
[3R,4S]-1-Heptyl-4-N-(6-methoxy-1,5-naphthyridin-4-yl)-3-(1-(R,S),2-dihydroxyethyl)-piperidineacetamide;
- 35 [3R, 4S]-1-Heptyl-3-ethenyl-4-N-(6-methoxy-cinnolin-4-yl)-piperidineacetamide, [or a pharmaceutically acceptable derivative of any of the foregoing compounds.

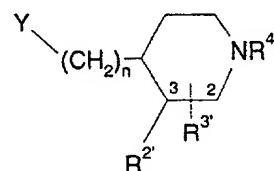
8. A process for preparing compounds of formula (I), or a pharmaceutically acceptable derivative thereof according to claim 1, which process comprises:

(a) reacting a compound of formula (IV) with a compound of formula (V):

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(IV)



(V)

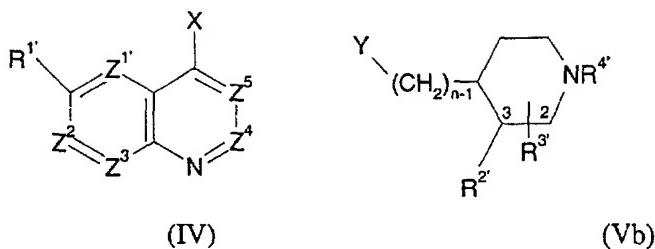
wherein Z¹, Z², Z³, Z⁴ and Z⁵, m, n, R¹, R², R³ and R⁴ are as defined in formula (I), and X and Y may be the following combinations:

- 10 (i) X is M and Y is CH₂CO₂R^X
 - (ii) X is CO₂RY and Y is CH₂CO₂R^X
 - (iii) one of X and Y is CH=SPh₂ and the other is CHO
 - (iv) X is CH₃ and Y is CHO
 - (v) X is CH₃ and Y is CO₂R^X
 - 15 (vi) X is CH₂CO₂RY and Y is CO₂R^X
 - (vii) X is CH=PR^Z₃ and Y is CHO
 - (viii) X is CHO and Y is CH=PR^Z₃
 - (ix) X is halogen and Y is CH=CH₂
 - (x) one of X and Y is COW and the other is NHR^{11'} or NCO
 - 20 (xi) one of X and Y is (CH₂)_p-V and the other is (CH₂)_qNHR^{11'}, (CH₂)_qOH, (CH₂)_qSH or (CH₂)_qSCOR^X where p+q=1
 - (xii) one of X and Y is CHO and the other is NHR^{11'}
 - (xiii) one of X and Y is OH and the other is -CH=N₂
- 25 in which V and W are leaving groups, R^X and RY are (C₁₋₆)alkyl and R^Z is aryl or (C₁₋₆)alkyl;

or

(b) reacting a compound of formula (IV) with a compound of formula (Vb):

30



wherein Z¹, Z², Z³, Z⁴ and Z⁵, m, n, R¹, R², R³ and R⁴ are as defined in formula (I), X is CH₂NHR¹¹ and Y is CHO or COW or X is CH₂OH and Y is -CH=N₂;

5

in which R¹¹, R¹, R², R³ and R⁴ are R¹¹, R¹, R², R³ and R⁴ or groups convertible thereto, and thereafter optionally or as necessary converting R¹¹, R¹, R², R³ and R⁴ to R¹¹, R¹, R², R³ and R⁴, converting A-B to other A-B, interconverting R¹¹, R¹, R², R³ and/or R⁴ and forming a pharmaceutically acceptable derivative thereof.

10

9. A pharmaceutical composition comprising a compound of formula (I) or a pharmaceutically acceptable derivative thereof according to claim 1, and a pharmaceutically acceptable carrier.

15 10. A method of treatment of bacterial infections in mammals, particularly in man, which method comprises the administration to a mammal in need of such treatment of an effective amount of a compound of formula (I) or a pharmaceutically acceptable derivative thereof according to claim 1.

20 11. The use of a compound of formula (I) or a pharmaceutically acceptable derivative thereof according to claim 1 in the manufacture of a medicament for use in the treatment of bacterial infections in mammals.

25 12. A pharmaceutical composition for use in the treatment of bacterial infections in mammals comprising a compound of formula (I) or a pharmaceutically acceptable derivative thereof according to claim 1, and a pharmaceutically acceptable carrier.